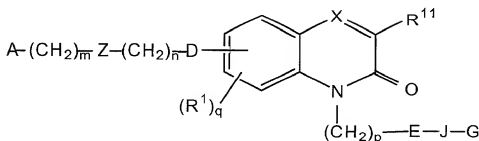


WHAT IS CLAIMED IS:

1. A compound of having the following formula:

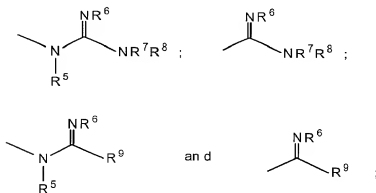


5

wherein:

A is a member selected from the group consisting of:  $R^2$ ,  $-NR^3R^4$ ,  
 $-C(=O)NR^3R^4$ ,

10



where  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ , and  $R^9$  are independently selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S; where  $R^6$  taken with either of  $R^7$  and  $R^8$ , and/or  $R^7$  taken with  $R^8$ , can each form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of

N, O and S;

m is an integer from 0-3;

Z is a member selected from the group consisting of a direct link, C<sub>1-8</sub>alkyl, C<sub>3-8</sub>cycloalkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>1-8</sub>carbocyclic aryl, or a five to ten membered  
5 heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S;

n is an integer from 0-3;

D is a member selected from the group consisting of a direct link, -CH<sub>2</sub>-, -O-,  
10 -N(R<sup>2</sup>)-, -C(=O)-, -S-, -SO<sub>2</sub>-, -SO<sub>2</sub>-N(R<sup>2</sup>)-, -N(R<sup>2</sup>)-SO<sub>2</sub>-, -OC(=O)-, -C(=O)O-,  
-C(=O)-N(R<sup>2</sup>)- and -N(R<sup>2</sup>)-C(=O)-;

R<sup>1</sup> is a member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, halogen, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH, C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl, -CN, -NO<sub>2</sub>, C<sub>0-8</sub>alkyl-OH, C<sub>0-8</sub>alkyl-SH, -C(=O)NR<sup>2</sup>R<sup>3</sup>,  
15 -O-R<sup>2</sup> and -O-C(=O)R<sup>2</sup>, an unsubstituted amino group, a mono- or di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, polyhaloalkyl, -SO<sub>2</sub>R<sup>2</sup>, C<sub>0-8</sub>alkyl-C(=O)OH and C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl, where R<sup>2</sup> and R<sup>3</sup> is as described above;

20

q is an integer from 0-3;

X is N or -CR<sup>12</sup>;

R<sup>11</sup> and R<sup>12</sup> are independently a member selected from the group consisting of  
25 H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, C<sub>6-12</sub>carbocyclic aryl, C<sub>1-6</sub>alkylaryl, C<sub>1-6</sub>alkyl-C<sub>3-8</sub>cycloalkyl, -O-R<sup>2</sup>, -O-C(=O)R<sup>2</sup>, -C<sub>1-8</sub>alkyl-O-R<sup>10</sup>, -C<sub>1-8</sub>alkyl-O-C(=O)R<sup>10</sup>, -C<sub>1-8</sub>alkyl-C(=O)OR<sup>10</sup>, -C<sub>1-8</sub>alkyl-O-C(=O)OR<sup>10</sup>, -C<sub>1-8</sub>alkyl-C(=O)NR<sup>10</sup>R<sup>10</sup>, -C<sub>1-8</sub>alkyl-NR<sup>10</sup>R<sup>10</sup>, -C<sub>1-8</sub>alkyl-NR<sup>10</sup>C(=O)R<sup>10</sup>, -SR<sup>10</sup>, where R<sup>2</sup> is as described above and R<sup>10</sup> is a member selected from the group consisting of H,

C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, and wherein when two R<sup>10</sup> groups are present they may be taken together to form a saturated or unsaturated ring with the atom to which they are both attached;

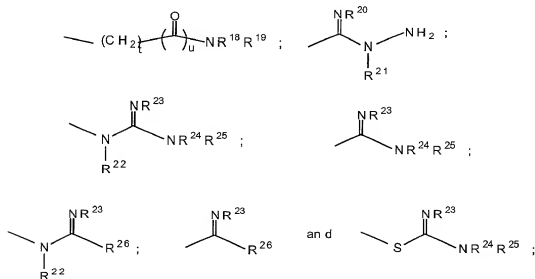
5 p is an integer from 0-3;

E is a member selected from the group consisting of a direct link, -O-,  
-N(-R<sup>11</sup>)-, where R<sup>11</sup> is as set forth above, phenylene, a bivalent 5 to 12 member  
heteroaryl group containing 1 to 4 heteroatoms selected from the group consisting of  
N, O and S, and a five to ten membered non-aromatic bivalent heterocyclic ring  
10 system containing 1-4 heteroatoms selected from the group consisting of N, O and S,  
wherein said heteroaryl and said non-aromatic heterocyclic ring structure may be  
independently substituted by from 0 to 5 R<sup>14</sup> groups;

J is a member selected from the group consisting of a direct link, a bivalent  
C<sub>3-8</sub>cycloalkyl group, phenylene, a 5 to 12 member bivalent heteroaryl group  
15 containing 1 to 4 heteroatoms selected from the group consisting of N, O and S, and a  
five to ten membered non-aromatic bivalent heterocyclic ring system containing 1-4  
heteroatoms selected from the group consisting of N, O and S wherein said heteroaryl  
and said non-aromatic heterocyclic ring structure may be independently substituted by  
from 0 to 5 R<sup>14</sup> groups;

20 each R<sup>14</sup> group is a member selected from the group consisting of H, C<sub>1-8</sub>alkyl,  
C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, halogen, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH,  
C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl, -CN, -NO<sub>2</sub>, C<sub>0-8</sub>alkyl-OH, C<sub>0-8</sub>alkyl-SH, -O-R<sup>2</sup> and  
-O-C(=O)R<sup>2</sup>, an unsubstituted amino group, a mono- or di-substituted amino group,  
wherein the substituted amino groups are independently substituted by at least one  
25 member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl,  
C<sub>3-8</sub>cycloalkyl, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH and C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl;

G is a member selected from the group consisting of: H; -CN; -OR<sup>17</sup>;



wherein

t is an integer from 0 to 6,

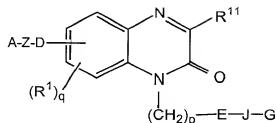
- u is the integer 0 or 1, and R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup> are
- 5 independently selected from the group consisting of H, -OH, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, C<sub>6-12</sub>carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and C<sub>1-6</sub>alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S;
- 10 where R<sup>18</sup> taken with R<sup>19</sup>, R<sup>22</sup> taken with either of R<sup>24</sup> and R<sup>25</sup>, and R<sup>24</sup> taken with R<sup>25</sup>, can each independently form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of N, O and S;

with the proviso that when G is H, -CN, -OR<sup>17</sup>, either E or J must contain at least one N atom;

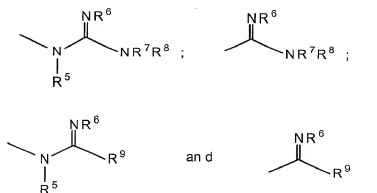
15

and all pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives thereof.

2. A compound of formula II:



- 5 A is a member selected from the group consisting of:  $R^2$ ,  $-NR^3R^4$ ,  
 $-C(=O)NR^3R^4$ ,



- where  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ , and  $R^9$  are independently selected from the group  
 10 consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  
 $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4  
 heteroatoms selected from the group consisting of N, O and S; and  
 $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4  
 of such atoms being selected from the group consisting of N, O and S; where  $r^6$  taken  
 15 with either of  $R^7$  and  $R^8$ , and/or  $R^7$  taken with  $R^8$ , can each form a 5 to 6 membered  
 heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of  
 N, O and S;

Z is a member selected from the group consisting of a direct link,  $C_{1-8}$ alkyl,

C<sub>3-8</sub>cycloalkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>1-8</sub>carbocyclic aryl, or a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S;

- D is a member selected from the group consisting of a direct link, -CH<sub>2</sub>-, -O-,  
5 -N(R<sup>2</sup>)-, -C(=O)-, -S-, -SO<sub>2</sub>-, -SO<sub>2</sub>-N(R<sup>2</sup>)-, -N(R<sup>2</sup>)-SO<sub>2</sub>-, -OC(=O)-, -C(=O)O-,  
-C(=O)-N(R<sup>2</sup>)- and -N(R<sup>2</sup>)-C(=O)-;

- R<sup>1</sup> is a member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl,  
C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, halogen, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH,  
C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl, -CN, -NO<sub>2</sub>, C<sub>0-8</sub>alkyl-OH, C<sub>0-8</sub>alkyl-SH, -C(=O)NR<sup>2</sup>R<sup>3</sup>,  
10 -O-R<sup>2</sup> and -O-C(=O)R<sup>2</sup>, an unsubstituted amino group, a mono- or di-substituted  
amino group, wherein the substituted amino groups are independently substituted by  
at least one member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>  
alkynyl, C<sub>3-8</sub>cycloalkyl, polyhaloalkyl, -SO<sub>2</sub>R<sup>2</sup>, C<sub>0-8</sub>alkyl-C(=O)OH and  
C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl, where R<sup>2</sup> and R<sup>3</sup> is as described above;

- 15 q is an integer from 0-3;

- R<sup>11</sup> is independently a member selected from the group consisting of H,  
C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, C<sub>6-12</sub>carbocyclic aryl, C<sub>1-6</sub>alkylaryl,  
C<sub>1-6</sub>alkyl-C<sub>3-8</sub>cycloalkyl, -O-R<sup>2</sup>, -O-C(=O)R<sup>2</sup>, -C<sub>1-8</sub>alkyl-O-R<sup>10</sup>, -C<sub>1-8</sub>alkyl-O-C(=O)R<sup>10</sup>,  
20 -C<sub>1-8</sub>alkyl-C(=O)OR<sup>10</sup>, -C<sub>1-8</sub>alkyl-O-C(=O)OR<sup>10</sup>, -C<sub>1-8</sub>alkyl-C(=O)NR<sup>10</sup>R<sup>10</sup>,  
-C<sub>1-8</sub>alkyl-NR<sup>10</sup>R<sup>10</sup>, -C<sub>1-8</sub>alkyl-NR<sup>10</sup>C(=O)R<sup>10</sup>, -SR<sup>10</sup>, where R<sup>2</sup> is as described above  
and R<sup>10</sup> is a member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>  
alkynyl, and wherein when two R<sup>10</sup> groups are present they may be taken together to  
form a saturated or unsaturated ring with the atom to which they are both attached;

- 25 p is an integer from 0-2;

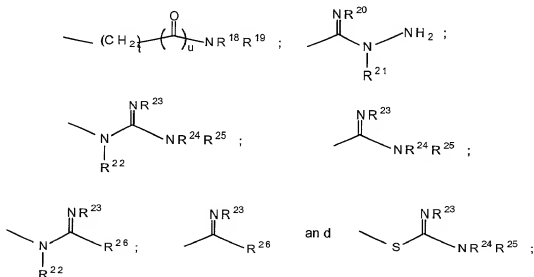
E is a member selected from the group consisting of a direct link, -O-,  
-N(R<sup>11</sup>)-, where R<sup>11</sup> is as set forth above, phenylene, a bivalent 5 to 12 member  
heteroaryl group containing 1 to 4 heteroatoms selected from the group consisting of

N, O and S, and a five to ten membered non-aromatic bivalent heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S, wherein said heteroaryl and said non-aromatic heterocyclic ring structure may be independently substituted by from 0 to 5  $R^{14}$  groups;

- 5 J is a member selected from the group consisting of a direct link, a bivalent  $C_{3-8}$ cycloalkyl group, phenylene, a 5 to 12 member bivalent heteroaryl group containing 1 to 4 heteroatoms selected from the group consisting of N, O and S, and a five to ten membered non-aromatic bivalent heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S wherein said heteroaryl and said non-aromatic heterocyclic ring structure may be independently substituted by from 0 to 5  $R^{14}$  groups;

- each  $R^{14}$  group is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, halogen, polyhaloalkyl,  $C_{0-8}$ alkyl-C(=O)OH,  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl, -CN, -NO<sub>2</sub>,  $C_{0-8}$ alkyl-OH,  $C_{0-8}$ alkyl-SH, -O- $R^2$  and  
15 -O-C(=O) $R^2$ , an unsubstituted amino group, a mono- or di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, polyhaloalkyl,  $C_{0-8}$ alkyl-C(=O)OH and  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl;

G is a member selected from the group consisting of: H; -CN; -OR<sup>17</sup>;



wherein

t is an integer from 0 to 6,

u is the integer 0 or 1, and  $R^{17}$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S; where  $R^{18}$  taken with  $R^{19}$ ,  $R^{22}$  taken with either of  $R^{24}$  and  $R^{25}$ , and  $R^{24}$  taken with  $R^{25}$ , can each independently form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of N, O and S;

with the proviso that when G is H, -CN, -OR<sup>17</sup>, either E or J must contain at least one N atom;

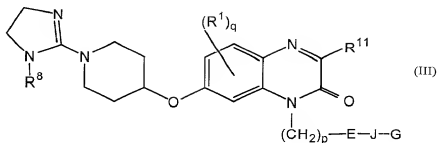
and all pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives thereof.

3. A compound of claim 2, wherein D is a member selected from the group consisting of: -O-, -NR<sup>2</sup>, -C(=O)-, -S-, -SO<sub>2</sub>-, -SO<sub>2</sub>-NR<sup>2</sup>, -NR<sup>2</sup>-SO<sub>2</sub>-, -OC(=O)-, -C(=O)NR<sup>2</sup>, and -NR<sup>2</sup>-C(=O)-.

4. A compound of claim 3, wherein D is a member selected from the group consisting of: -O-, -NR<sup>2</sup>, -C(=O)-, -S-, and -SO<sub>2</sub>-.



5. A compound of formula III:



wherein:

$R^8$  is selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S;

$R^1$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, halogen, polyhaloalkyl,  $C_{0-8}$ alkyl-C(=O)OH,  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl, -CN, -NO<sub>2</sub>,  $C_{0-8}$ alkyl-OH,  $C_{0-8}$ alkyl-SH, -C(=O)NR<sup>2</sup>R<sup>3</sup>, -O-R<sup>2</sup> and -O-C(=O)R<sup>2</sup>, an unsubstituted amino group, a mono- or di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, polyhaloalkyl, -SO<sub>2</sub>R<sup>2</sup>,  $C_{0-8}$ alkyl-C(=O)OH and  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl, where R<sup>2</sup> and R<sup>3</sup> is as described above;

$R^2$  is selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S;

$q$  is 0-3;

$R^{11}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl,  $C_{1-6}$ alkylaryl,  $C_{1-6}$ alkyl- $C_{3-8}$ cycloalkyl, -O- $R^2$ , -O-C(=O) $R^2$ , - $C_{1-8}$ alkyl-O- $R^{10}$ , - $C_{1-8}$ alkyl-O-C(=O) $R^{10}$ , - $C_{1-8}$ alkyl-C(=O)OR<sup>10</sup>, - $C_{1-8}$ alkyl-O-C(=O)OR<sup>10</sup>, - $C_{1-8}$ alkyl-C(=O)NR<sup>10</sup>R<sup>10</sup>,  
5 - $C_{1-8}$ alkyl-NR<sup>10</sup>R<sup>10</sup>, - $C_{1-8}$ alkyl-NR<sup>10</sup>C(=O)R<sup>10</sup>, -SR<sup>10</sup>, where  $R^2$  is as described above and  $R^{10}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl, and wherein when two  $R^{10}$  groups are present they may be taken together to form a saturated or unsaturated ring with the atom to which they are both attached;

10 p is an integer from 0-2;

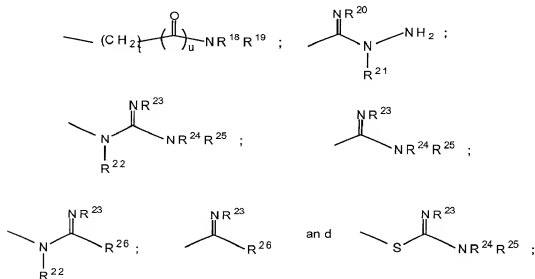
E is a member selected from the group consisting of a direct link, -O-, -N(- $R^{11}$ )-, where  $R^{11}$  is as set forth above, phenylene, a bivalent 5 to 12 member heteroaryl group containing 1 to 4 heteroatoms selected from the group consisting of N, O and S, and a five to ten membered non-aromatic bivalent heterocyclic ring  
15 system containing 1-4 heteroatoms selected from the group consisting of N, O and S, wherein said heteroaryl and said non-aromatic heterocyclic ring structure may be independently substituted by from 0 to 5  $R^{14}$  groups;

J is a member selected from the group consisting of a direct link, a bivalent  $C_{3-8}$ cycloalkyl group, phenylene, a 5 to 12 member bivalent heteroaryl group  
20 containing 1 to 4 heteroatoms selected from the group consisting of N, O and S, and a five to ten membered non-aromatic bivalent heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S wherein said heteroaryl and said non-aromatic heterocyclic ring structure may be independently substituted by from 0 to 5  $R^{14}$  groups;

25 each  $R^{14}$  group is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, halogen, polyhaloalkyl,  $C_{0-8}$ alkyl-C(=O)OH,  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl, -CN, -NO<sub>2</sub>,  $C_{0-8}$ alkyl-OH,  $C_{0-8}$ alkyl-SH, -O- $R^2$  and -O-C(=O) $R^2$ , an unsubstituted amino group, a mono- or di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one  
30 member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,

C<sub>3-8</sub>cycloalkyl, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH and C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl;

G is a member selected from the group consisting of: H; -CN; -OR<sup>17</sup>;



wherein

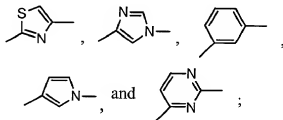
t is an integer from 0 to 6,

- 5 u is the integer 0 or 1, and R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup> are independently selected from the group consisting of H, -OH, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, C<sub>6-12</sub>carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and C<sub>1-6</sub>alkylheterocyclic ring system having in the ring system 5 to 10 atoms
- 10 with 1 to 4 of such atoms being selected from the group consisting of N, O and S; where R<sup>18</sup> taken with R<sup>19</sup>, R<sup>22</sup> taken with either of R<sup>24</sup> and R<sup>25</sup>, and R<sup>24</sup> taken with R<sup>25</sup>, can each independently form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of N, O and S;
- 15 with the proviso that when G is H, -CN, -OR<sup>17</sup>, either E or J must contain at least one N atom;

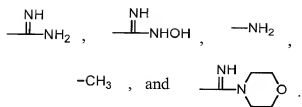
and all pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives thereof.

- 5            R<sup>8</sup> is a methyl group;

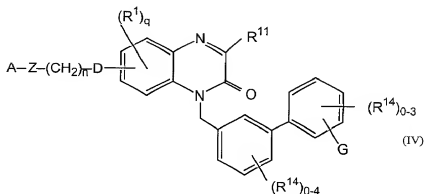
E is selected from the group consisting of: a direct link,



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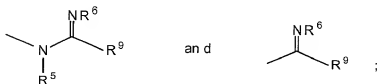
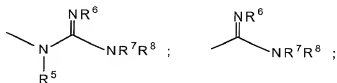


9. A compound of formula IV:



wherein:

5 A is a member selected from the group consisting of:  $R^2$ ,  $\text{---NR}^3R^4$ ,  $\text{---C(=O)NR}^3R^4$ ,



10 where  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ , and  $R^9$  are independently selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,

- $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  
 $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S; where  $r^6$  taken  
5 with either of  $R^7$  and  $R^8$ , and/or  $R^7$  taken with  $R^8$ , can each form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of N, O and S;

- Z is a member selected from the group consisting of a direct link,  $C_{1-8}$ alkyl,  $C_{3-8}$ cycloalkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{1-8}$ carbocyclic aryl, or a five to ten membered  
10 heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S;

n is 0-3;

- D is a member selected from the group consisting of:  $-CH_2-$ ,  $-O-$ ,  $-N R^2$ ,  
15  $-C(=O)-$ ,  $-S-$ ,  $-SO_2-$ ,  $-SO_2-NR^2$ ,  $-NR^2-SO_2$ ,  $-OC(=O)-$ ,  $-C(=O)NR^2$ , and  $-NR^2-C(=O)-$ ;

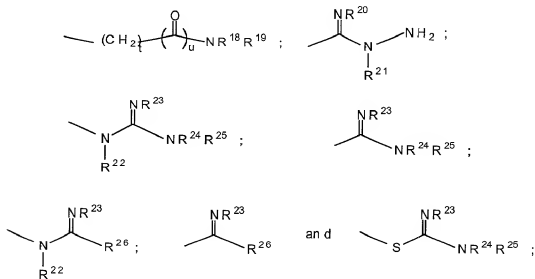
- $R^1$  and  $R^{14}$  are independently a member selected from the group consisting of  
H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, halogen, polyhaloalkyl,  
 $C_{0-8}$ alkyl-C(=O)OH,  $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl,  $-CN$ ,  $-NO_2$ ,  $C_{0-8}$ alkyl-OH,  
 $C_{0-8}$ alkyl-SH,  $-O-R^2$  and  $-O-C(=O)R^2$ , an unsubstituted amino group, a mono- or  
20 di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one member selected from the group consisting of H,  $C_{1-8}$ alkyl,  
 $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, polyhaloalkyl,  $C_{0-8}$ alkyl-C(=O)OH and  
 $C_{0-8}$ alkyl-C(=O)O- $C_{1-8}$ alkyl;

- 25 q is 0-3;

- $R^{11}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  
 $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl,  $C_{1-6}$ alkylaryl,  
 $C_{1-6}$ alkyl- $C_{3-8}$ cycloalkyl,  $-O-R^2$ ,  $-O-C(=O)R^2$ ,  $-C_{1-8}$ alkyl-O- $R^{10}$ ,  $-C_{1-8}$ alkyl-O-C(=O) $R^{10}$ ,  
30  $-C_{1-8}$ alkyl-C(=O)OR<sup>10</sup>,  $-C_{1-8}$ alkyl-O-C(=O)OR<sup>10</sup>,  $-C_{1-8}$ alkyl-C(=O)NR<sup>10</sup>R<sup>10</sup>,

$-C_{1-8}alkyl-NR^{10}R^{10}$ ,  $-C_{1-8}alkyl-NR^{10}C(=O)R^{10}$ ,  $-SR^{10}$ , where  $R^2$  is as described above and  $R^{10}$  is a member selected from the group consisting of H,  $C_{1-8}alkyl$ ,  $C_{2-8}alkenyl$ ,  $C_{2-8}alkynyl$ , and wherein when two  $R^{10}$  groups are present they may be taken together to form a saturated or unsaturated ring with the atom to which they are both attached;

- 5 G is a member selected from the group consisting of: H; -CN;  $-OR^{17}$ ;



wherein

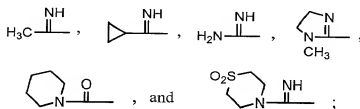
t is an integer from 0 to 6,

- u is the integer 0 or 1, and  $R^{17}$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of H, -OH,  $C_{1-8}alkyl$ ,  $C_{2-8}alkenyl$ ,  $C_{2-8}alkynyl$ ,  $C_{3-8}cycloalkyl$ ,  $C_{6-12}carbocyclic$  aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-8}alkylheterocyclic$  ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S; where  $R^{18}$  taken with  $R^{19}$ ,  $R^{22}$  taken with either of  $R^{24}$  and  $R^{25}$ , and  $R^{24}$  taken with  $R^{25}$ , can each independently form a 5 to 6 membered heterocyclic ring containing from 1 to 4 atoms selected from the group consisting of N, O and S;

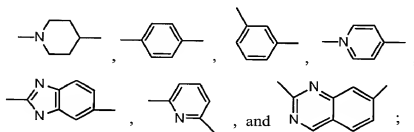
with the proviso that when G is H, -CN,  $-OR^{17}$ , either E or J must contain at least one N atom;

and all pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives thereof.

10. A compound of claim 9, wherein  $R^1$ ,  $R^8$ ,  $R^{11}$  and  $R^{14}$  are independently  
5 selected from the group consisting of hydrogen, methyl and ethyl;  
A is selected from the group consisting of:  $-H$ ,  $-CH_3$ ,  $-NH_2$ ,  $-C(O)N(CH_3)_2$ ,



Z is selected from the group consisting of:



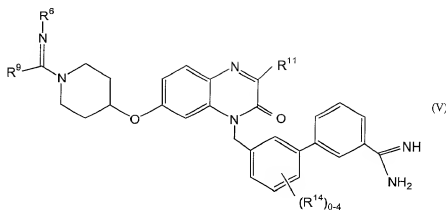
10

n is an integer from 0-2; and

D is selected from the group consisting of:  $-O-$ ,  $-N(CH_3)-$ , and  $-CH_2-$ .



11. A compound of formula V:



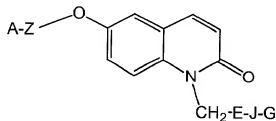
wherein:

- $R^2$ ,  $R^6$ , and  $R^9$  are independently selected from the group consisting of H, -OH,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl, a five to ten membered heterocyclic ring system containing 1-4 heteroatoms selected from the group consisting of N, O and S; and  $C_{1-6}$ alkylheterocyclic ring system having in the ring system 5 to 10 atoms with 1 to 4 of such atoms being selected from the group consisting of N, O and S;
- $R^{11}$  is independently a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl,  $C_{6-12}$ carbocyclic aryl,  $C_{1-6}$ alkylaryl,  $C_{1-6}$ alkyl- $C_{3-8}$ cycloalkyl,  $-O-R^2$ ,  $-O-C(=O)R^2$ ,  $-C_{1-8}$ alkyl- $O-R^{10}$ ,  $-C_{1-8}$ alkyl- $O-C(=O)R^{10}$ ,  $-C_{1-8}$ alkyl- $C(=O)OR^{10}$ ,  $-C_{1-8}$ alkyl- $O-C(=O)OR^{10}$ ,  $-C_{1-8}$ alkyl- $C(=O)NR^{10}R^{10}$ ,  $-C_{1-8}$ alkyl- $NR^{10}R^{10}$ ,  $-C_{1-8}$ alkyl- $NR^{10}C(=O)R^{10}$ ,  $-SR^{10}$ , where  $R^2$  is as described above and  $R^{10}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl, and wherein when two  $R^{10}$  groups are present they may be taken together to form a saturated or unsaturated ring with the atom to which they are both attached;
- each  $R^{14}$  group is a member selected from the group consisting of H,  $C_{1-8}$ alkyl,  $C_{2-8}$ alkenyl,  $C_{2-8}$ alkynyl,  $C_{3-8}$ cycloalkyl, halogen, polyhaloalkyl,  $C_{0-8}$ alkyl- $C(=O)OH$ ,  $C_{0-8}$ alkyl- $C(=O)O-C_{1-8}$ alkyl,  $-CN$ ,  $-NO_2$ ,  $C_{0-8}$ alkyl-OH,  $C_{0-8}$ alkyl-SH,  $-O-R^2$  and  $-O-C(=O)R^2$ , an unsubstituted amino group, a mono- or di-substituted amino group, wherein the substituted amino groups are independently substituted by at least one

member selected from the group consisting of H, C<sub>1-8</sub>alkyl, C<sub>2-8</sub>alkenyl, C<sub>2-8</sub>alkynyl, C<sub>3-8</sub>cycloalkyl, polyhaloalkyl, C<sub>0-8</sub>alkyl-C(=O)OH and C<sub>0-8</sub>alkyl-C(=O)O-C<sub>1-8</sub>alkyl;

- and all pharmaceutically acceptable isomers, salts, hydrates, solvates and  
5 prodrug derivatives thereof.

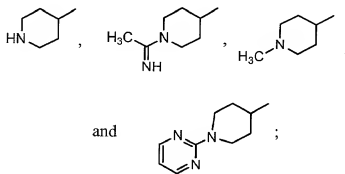
12. A compound having the following structure:



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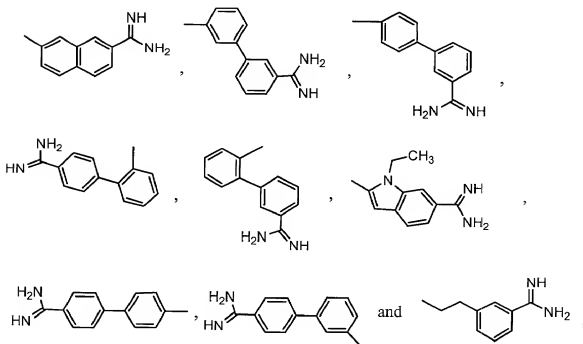
wherein:

A-Z is a member selected from the group consisting of:



15

E-J-G is a member selected from the group consisting of:



and all pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives thereof.

5

13. A pharmaceutical composition for preventing or treating a condition in a mammal characterized by undesired thrombosis comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound as in one of claims 1-12.

10

14. A method for preventing or treating a condition in a mammal characterized by undesired thrombosis comprising administering to said mammal a therapeutically effective amount of a compound as in one of claims 1-12.

15. The method of claim 14, wherein the condition is selected from the group consisting of:

acute coronary syndrome, myocardial infarction, unstable angina, refractory angina, occlusive coronary thrombus occurring post-thrombolytic therapy or post-

- coronary angioplasty, a thrombotically mediated cerebrovascular syndrome, embolic stroke, thrombotic stroke, transient ischemic attacks, venous thrombosis, deep venous thrombosis, pulmonary embolus, coagulopathy, disseminated intravascular coagulation, thrombotic thrombocytopenic purpura, thromboangiitis obliterans,
- 5 thrombotic disease associated with heparin-induced thrombocytopenia, thrombotic complications associated with extracorporeal circulation, thrombotic complications associated with instrumentation such as cardiac or other intravascular catheterization, intra-aortic balloon pump, coronary stent or cardiac valve, and conditions requiring the fitting of prosthetic devices.
- 10
16. A method for inhibiting the coagulation of biological samples comprising the administration of a compound as in one of claims 1-12.